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PASSWORD:
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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAplus patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS	HOURS	STN Operating Hours Plus Help Desk Availability
NEWS	LOGIN	Welcome Banner and News Items
NEWS	IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 12:09:34 ON 29 JUL 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:09:46 ON 29 JUL 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0
DICTIONARY FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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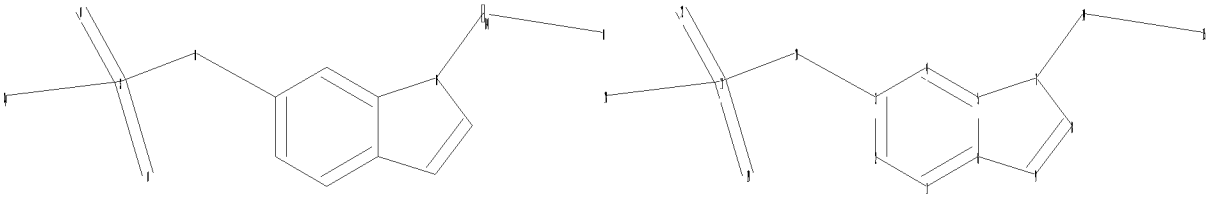

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ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :

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ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9
exact/norm bonds :
3-10  5-7  7-8  7-14  10-11  11-12  11-18  11-19  14-15
exact bonds :
6-9  8-9
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  14:CLASS  15:CLASS  18:Atom  19:CLASS



L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
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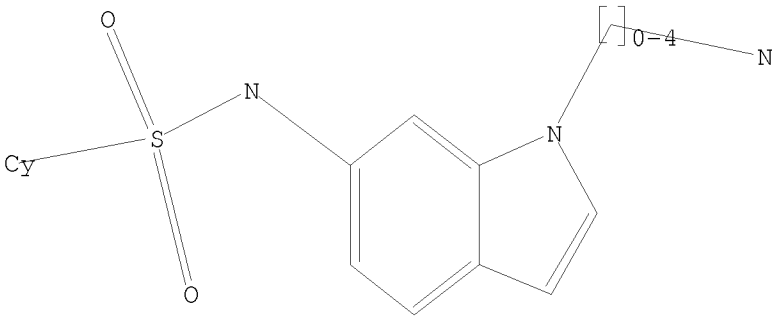


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Structure attributes must be viewed using STN Express query preparation.


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FULL SEARCH INITIATED 12:10:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      423 TO ITERATE

100.0% PROCESSED      423 ITERATIONS                                  9 ANSWERS
SEARCH TIME: 00.00.01

L2            9 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     178.36     178.57

FILE 'CAPLUS' ENTERED AT 12:10:08 ON 29 JUL 2008
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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l3 full
L3 NOT FOUND
The L-number entered has not been defined in this session, or it
has been deleted. To see the L-numbers currently defined in this
session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l2 full
L3   4 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136598 CAPLUS
DOCUMENT NUMBER: 142:240323
TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity
INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014045	A1	20050217	WO 2004-EP8514	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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ES 2228268	B1	20060701		
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EP 1660131	A1	20060531	EP 2004-741321	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
IN 2005DN06119	A	20080711	IN 2005-DN6119	20051228
MX 2006PA01230	A	20060515	MX 2006-PA1230	20060130
US 20070009597	A1	20070111	US 2006-566402	20060705
PRIORITY APPLN. INFO.:			ES 2003-1815 A	20030730
			WO 2004-EP8514 W	20040729

OTHER SOURCE(S): CASREACT 142:240323; MARPAT 142:240323
GI

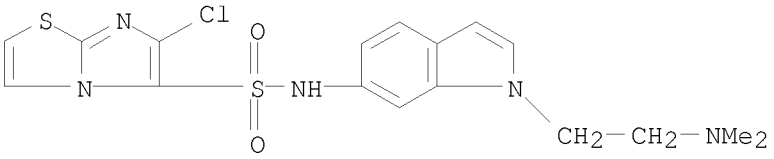
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;
A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5,

(un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

IT 753020-88-1P 753020-90-5P 753020-91-6P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 753020-88-1 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



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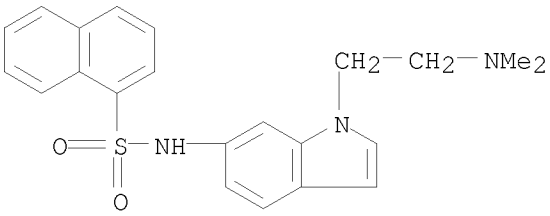

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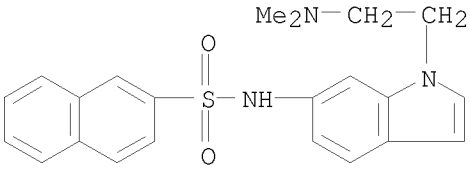

RN 844477-59-4 CAPLUS
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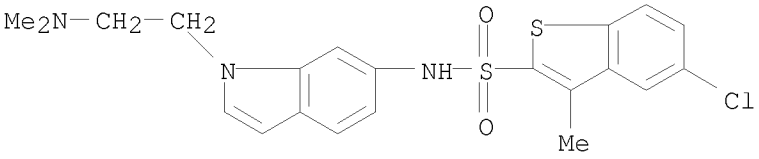

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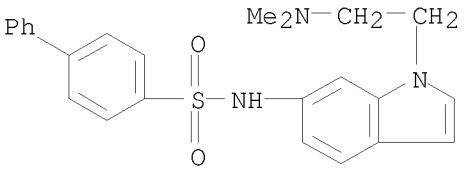
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



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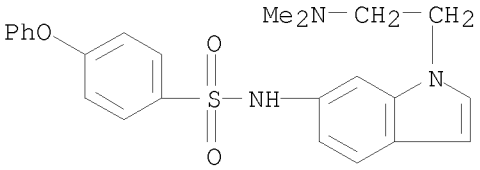

RN 844477-70-9 CAPLUS
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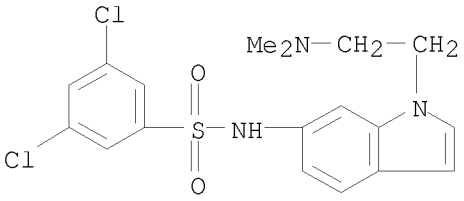

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136568 CAPLUS
DOCUMENT NUMBER: 142:240322
TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity
INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 451 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014000	A1	20050217	WO 2004-EP8515	20040729
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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ES 2228267	B1	20060701		
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PRIORITY APPLN. INFO.:			ES 2003-1814 A	20030730
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OTHER SOURCE(S): MARPAT 142:240322
GI

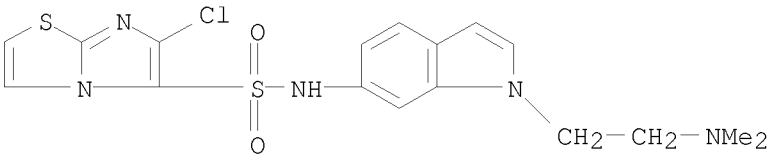
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.;

R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

IT 753020-88-1P 753020-90-5P 753020-91-6P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 753020-88-1 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



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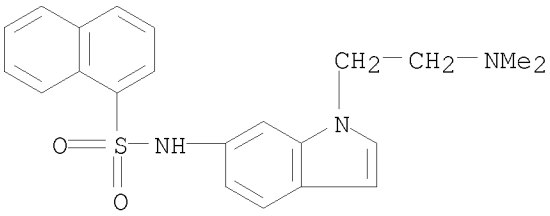

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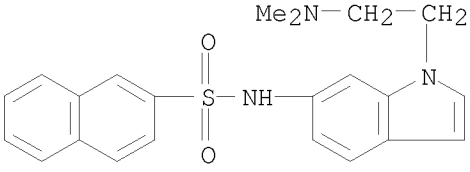
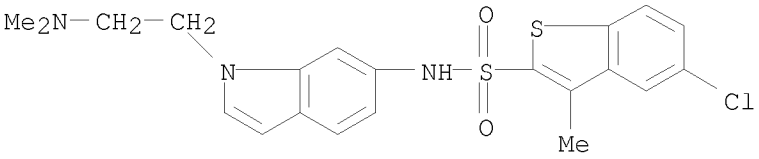


RN 844477-59-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



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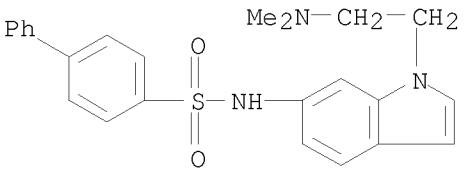

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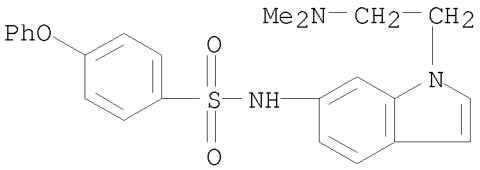

RN 844477-70-9 CAPLUS
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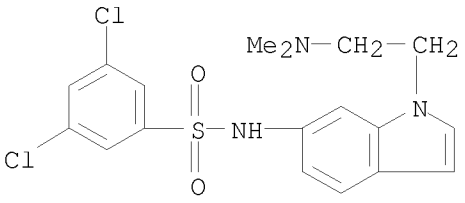


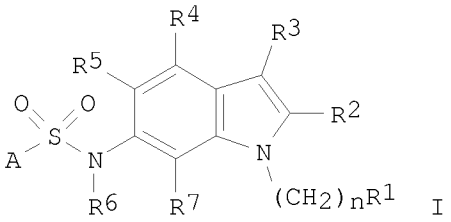
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136548 CAPLUS
DOCUMENT NUMBER: 142:240309
TITLE: Preparation of indol-6-ylsulfonamide derivatives and their use as 5-HT6 modulators
INVENTOR(S): Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005013976	A1	20050217	WO 2004-EP8510		20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
ES 2222832	A1	20050201	ES 2003-1810		20030730
ES 2222832	B1	20060216			
AU 2004262484	A1	20050217	AU 2004-262484		20040729
CA 2533970	A1	20050217	CA 2004-2533970		20040729
EP 1660077	A1	20060531	EP 2004-741319		20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1832738	A	20060913	CN 2004-80022271		20040729
BR 2004013112	A	20061003	BR 2004-13112		20040729
JP 2007500164	T	20070111	JP 2006-521528		20040729
NZ 545301	A	20080530	NZ 2004-545301		20040729
MX 2006PA01141	A	20060424	MX 2006-PA1141		20060127
NO 2006000682	A	20060210	NO 2006-682		20060210
US 20070043041	A1	20070222	US 2006-566101		20060810
PRIORITY APPLN. INFO.:			ES 2003-1810	A	20030730
			WO 2004-EP8510	W	20040729

OTHER SOURCE(S): CASREACT 142:240309; MARPAT 142:240309
GI



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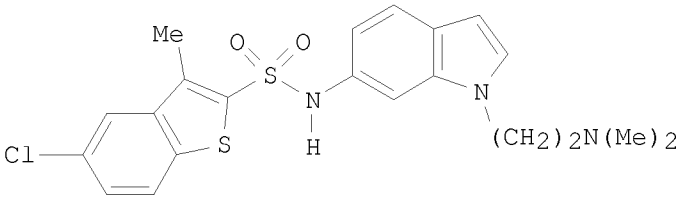


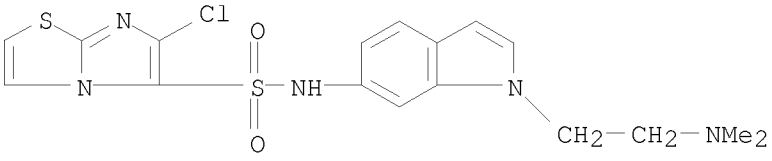
II

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-5,7 independently = H, halo, NO2, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9 = H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 86.9-98.6 at 10-6M concns.

IT 753020-88-1P 753020-90-5P 753020-91-6P
844477-59-4P 844477-64-1P 844477-68-5P
844477-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 753020-88-1 CAPLUS

CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-90-5 CAPLUS

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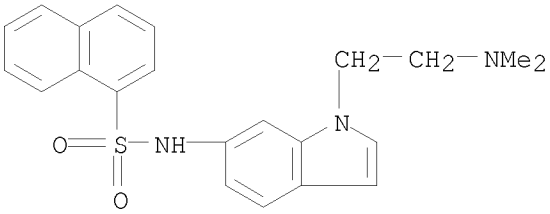
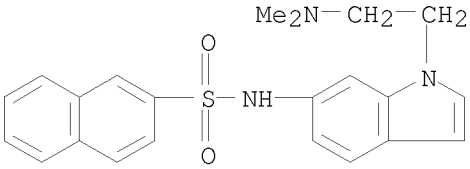
(CA INDEX NAME)



RN 753020-91-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 844477-59-4 CAPLUS
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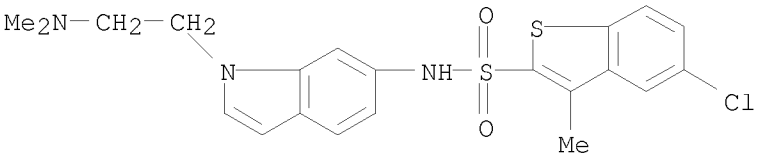
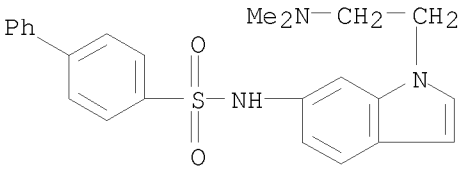
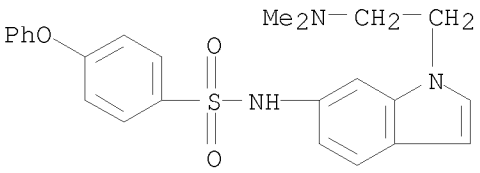


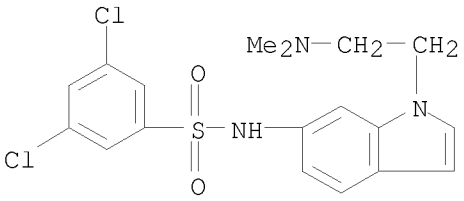
RN 844477-64-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-68-5 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-4-phenoxy-
(CA INDEX NAME)



RN 844477-70-9 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



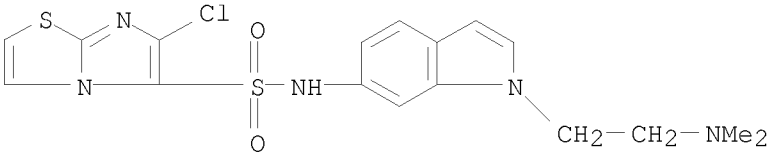
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:725572 CAPLUS
DOCUMENT NUMBER: 142:211383
TITLE: Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT6 Receptor Ligands
AUTHOR(S): Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut
CORPORATE SOURCE: Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1781-1795
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:211383

AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT6 receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT6 ligands. Many of the compds. described in this paper possess excellent affinities, displaying pKi values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors. First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared Compds. 19c and 19g represent the highest-affinity 5-HT6 agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT6 receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

IT 753020-88-1P 753020-89-2P 753020-90-5P
753020-91-6P 753020-93-8P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(medicinal chemical driven approaches toward novel and selective serotonin 5-HT6 receptor ligands)

RN 753020-88-1 CAPLUS
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-89-2 CAPLUS
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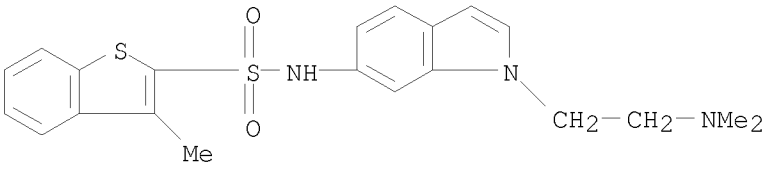
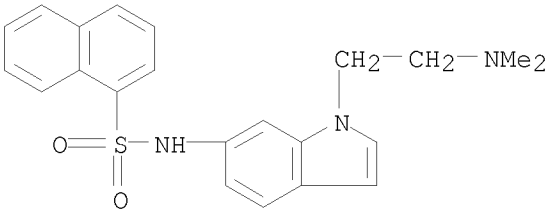


RN 753020-90-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-91-6 CAPLUS
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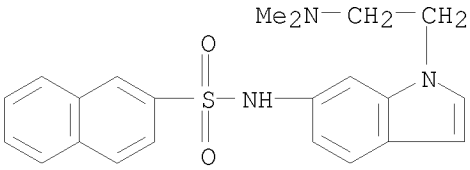

RN 753020-93-8 CAPLUS
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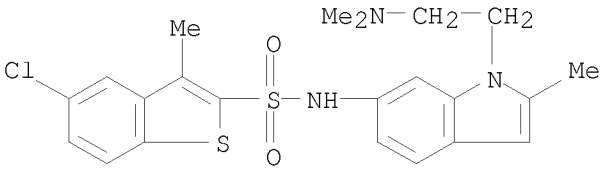

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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